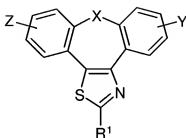


CLAIMS

1. A method of treating a disease, damage or disorder of the central nervous system associated with a disorder of neurochemical equilibrium of a biogenic amine or other neurotransmitter, comprising administering to a subject in need thereof a compound of formula **I**

**I**

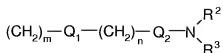
wherein

X is selected from the group consisting of CH₂, O, S, S(=O), S(=O)₂ and NR², wherein R² is selected from the group consisting of hydrogen, C₁-C₃-alkyl, C₁-C₃-alkanoyl, C₁-C₇-alkoxycarbonyl, C₇-C₁₀-arylmethoxycarbonyl, C₇-C₁₀-aroyl, C₇-C₁₀-arylalkyl, C₃-C₇-alkylsilyl and C₃-C₁₀-alkylsilylalkoxyalkyl;

Y and Z are each independently selected from the group consisting of hydrogen, halogen, C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, halo-C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, trifluoromethoxy, C₁-C₄-alkanoyl, amino, amino-C₁-C₄-alkyl, *N*-(C₁-C₄-alkyl)amino, *N,N*-di(C₁-C₄-alkyl)amino, thiol, C₁-C₄-alkylthio, sulfonyl, C₁-C₄-alkylsulfonyl, sulfinyl, C₁-C₄-alkylsulfinyl, carboxy, C₁-C₄-alkoxycarbonyl, cyano and nitro;

R¹ is selected from the group consisting of hydrogen, halogen, C₁-C₇-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C₁-C₄ alkoxy, thiol, C₁-C₄ alkylthio, amino, *N*-(C₁-C₄) alkylamino, *N,N*-di(C₁-C₄-alkyl)-amino, sulfonyl, C₁-C₄ alkylsulfonyl, sulfinyl and C₁-C₄ alkylsulfinyl; C₂-C₇-alkenyl optionally substituted with one or more halogen atoms; C₂-C₇-alkynyl; hydroxy; hydroxy-C₂-C₇-alkenyl; hydroxy-C₂-C₇-alkynyl; C₁-C₇-alkoxy; thiol; thio-C₂-C₇-alkenyl; thio-C₂-C₇-alkynyl; C₁-C₇-alkylthio; amino-C₂-C₇-alkenyl; amino-C₂-C₇-alkynyl; amino-C₁-C₇-alkoxy; C₁-C₇-alkanoyl; C₇-C₁₀-aroyl; oxo-C₁-C₇-alkyl; C₁-C₇-alkanoyloxy; carboxy; C₁-C₇-alkyloxycarbonyl; C₁-C₇ aryloxycarbonyl; carbamoyl; *N*-(C₁-C₇-alkyl)carbamoyl; *N,N*-di(C₁-C₇-alkyl)carbamoyl; cyano; cyano-C₁-C₇-alkyl; sulfonyl; C₁-C₇-alkylsulfonyl; sulfinyl; C₁-C₇-alkylsulfinyl; nitro;

a substituent of the formula **II**:



II

wherein

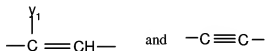
R^2 and R^3 are each independently selected from the group consisting of hydrogen, C_1 - C_4 -alkyl, and aryl; or

R² and R³ taken together with [[N]] the nitrogen atom to which they are attached form a heterocycle or heteroaryl group optionally substituted with one or two substituents selected from the group consisting of halogen, C₁-C₄ alkyl, cyano, nitro, hydroxy, C₁-C₄ alkoxy, thiol, C₁-C₄ alkylthio, amino, *N*-(C₁-C₄) alkylamino, *N,N*-di(C₁-C₄-alkyl)-amino, sulfonyl, C₁-C₄ alkylsulfonyl, sulfinyl, and C₁-C₄ alkylsulfinyl;

m is an integer from 1 to 3;

n is an integer from 0 to 3:

Q_1 and Q_2 are each independently selected from the group consisting of oxygen, sulfur,



wherein

y_1 and y_2 are each independently selected from the group consisting of hydrogen, halogen, C_1 - C_4 -alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C_1 - C_4 alkoxy, thiol, C_1 - C_4 alkylthio, amino, N -(C_1 - C_4) alkylamino, N,N -di(C_1 - C_4 -alkyl)-amino, sulfonyl, C_1 - C_4 alkylsulfonyl, sulfinyl and C_1 - C_4 alkylsulfinyl; aryl optionally substituted with one or two substituents selected from the group consisting of halogen, C_1 - C_4 alkyl, cyano, nitro, hydroxy, C_1 - C_4 alkoxy, thiol, C_1 - C_4 alkylthio, amino, N -(C_1 - C_4) alkylamino, N,N -di(C_1 - C_4 -alkyl)-amino, sulfonyl, C_1 - C_4 alkylsulfonyl, sulfinyl, and C_1 - C_4 alkylsulfinyl; hydroxy, C_1 - C_4 -alkoxy, C_1 - C_4 -alkanoyl, thiol, C_1 - C_4 -alkylthio, sulfonyl, C_1 - C_4 -alkylsulfonyl, sulfinyl, C_1 - C_4 -alkylsulfinyl, cyano, and nitro, or

2. The method of claim 1, wherein the biogenic amine is serotonin, norepinephrine or dopamine.

4. The method of claim 1 wherein the compound of formula I regulates the synthesis, storage, release, metabolism, reabsorption or receptor binding of a biogenic amine or neurotransmitter.

5. The method of claim 4, wherein the compound of formula I binds to a receptor of a biogenic amine.

6. The method of claim 5, wherein the compound of formula I binds to a serotonin 5-HT_{2A} or 5-HT_{2C} receptor.

7. The method of claim 6, wherein the compound of formula I binds to a serotonin 5-HT_{2A} or 5-HT_{2C} receptor with an IC₅₀ of less than 1 μM.

8. The method of claim 1, wherein the compound of formula I binds to a $\sigma 1$ receptor with an IC_{50} of less than 1 μM .

9. The method of claim 1, wherein the compound of formula I binds to a $\sigma 1$ receptor and to at least one serotonin receptor selected from 5-HT_{2A} and 5-HT_{2C}.

10. The method of claim 1, wherein the disease or disorder of the central nervous system is selected from the group consisting of anxiety, depression, bipolar disorders, sleeping disorders, sexual disorders, psychosis, borderline psychosis, schizophrenia, migraine, personality disorders, obsessive-compulsive disorders, social phobia, panic attacks, organic mental disorders in children,

12. The method of claim 1 wherein X is O, S, or NR^a, wherein R^a is hydrogen, C₁-C₃-alkyl, C₁-C₃-alkanoyl, C₇-C₁₀-aroyl or C₇-C₁₀-arylalkyl.

13. wherein Y and Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, C₁-C₄-alkyl, halo-C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, trifluoromethoxy, C₁-C₄-alkanoyl, amino, amino-C₁-C₄-alkyl, N-(C₁-C₄-alkyl)amino, N,N-di(C₁-C₄-alkyl)amino, thiol, C₁-C₄-alkylthio, cyano and nitro.

14. The method of claim 1, wherein R¹ is selected from the group consisting of hydrogen, halogen, C₁-C₄-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C₁-C₄ alkoxy, thiol, C₁-C₄ alkylthio, amino, *N*-(C₁-C₄) alkylamino and *N,N*-di(C₁-C₄-alkyl)-amino; hydroxyl; C₁-C₄ alkoxy; thiol; C₁-C₄ alkylthio; C₁-C₃ alkanoyl; C₇-C₁₀-aroyl; C₁-C₇ alkanoyloxy, C₁-C₇ alkylloxycarbonyl; C₇-C₁₀-aryloxycarbonyl, carbamoyl, *N*-(C₁-C₇-alkyl)carbamoyl, *N,N*-di(C₁-C₇-alkyl)carbamoyl, cyano, cyano-C₁-C₇ alkyl, nitro;

$$(\text{CH}_2)_m\text{---Q}_1\text{---}(\text{CH}_2)_n\text{---Q}_2\text{---N}\begin{matrix} \text{R}^2 \\ \text{R}^3 \end{matrix}$$

wherein

R² and R³ taken together with the nitrogen atom to which they are attached form a heterocycle or heteroaryl group selected from the group consisting of morpholine-4-yl, piperidine-1-yl, pyrrolidine-1-yl, imidazole-1-yl and piperazine-1-yl;

n is an integer from 0 to 3; and

Q_1 and Q_2 are each independently oxygen or CH_2

8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
5-fluoro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
5-chloro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-chloro-2-methyl-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
5-fluoro-2-methyl-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
6-chloro-2-methyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-methyl-6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
6-bromo-2-methyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-bromo-2-methyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-chloro-2-methyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-methyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-methyl-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
(6-chloro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-acetonitrile;
8-oxa-1-thia-3-aza-dibenzo[e,h]azulene-2-carbaldehyde;
5-fluoro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene-2-carbaldehyde;
5-chloro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene-2-carbaldehyde;
1,8-dithia-3-aza-dibenzo[e,h]azulene-2-carbaldehyde;
6-chloro-2-vinyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
(6-chloro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-acetic acid ethyl ester;
6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene-2-carboxylic acid ethyl ester;
5-fluoro-1,8-dithia-3-aza-dibenzo[e,h]azulene-2-carboxylic acid ethyl ester;
5-fluoro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-yl-acetic acid methyl ester;
5-chloro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-yl-acetic acid methyl ester;
2-phenyl-6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-(4-chloro-phenyl)-6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;

2-(2-chloro-phenyl)-6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-(2,6-dichloro-pyridin-4-yl)-6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
6-trifluoromethyl-2-(6-trifluoromethyl-pyridin-2-yl)-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-(2,4-difluoro-phenyl)-6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-pyridin-4-yl-6-trifluoromethyl-8-oxa-1-thia-3-aza-dibenzo[e,h]azulene;
5,6-dichloro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
2-pyridin-4-yl-8H-1-thia-3-aza-dibenzo[e,h]azulene;
5-methoxy-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-fluoro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
7-chloro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
7-bromo-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
6-chloro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-bromo-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
7-chloro-5-fluoro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-methyl-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
7-methyl-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
5-chloro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
6-methyl-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
6-chloro-5-fluoro-2-pyridin-4-yl-1,8-dithia-3-aza-dibenzo[e,h]azulene;
1-(2-pyridin-4-yl-1-thia-3,8-diaza-dibenzo[e,h]azulen-8-yl)-ethanone;
(8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-yl)-methanol;
(5-fluoro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-yl)-methanol;
(5-chloro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-yl)-methanol;
(1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-methanol;
2-(6-chloro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-ethanol;
(6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-methanol;
(5-fluoro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-methanol;
dimethyl-[2-(8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;
dimethyl-[3-(8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;
3-(8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;
[2-(5-fluoro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-dimethylamine;
[3-(5-fluoro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-dimethylamine;

[2-(5-chloro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-
dimethylamine;

[3-(5-chloro-8-oxa-1-thia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-
dimethylamine;

[2-(1,8-dithia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-dimethylamine;
[3-(1,8-dithia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-dimethylamine;
{3-[2-(6-chloro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-yl)-ethoxy]-propyl}-
dimethylamine;

dimethyl-[2-(6-trifluoromethyl-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-
ethyl]-amine;

[2-(5-fluoro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-
dimethylamine;

dimethyl-[2-(5-fluoro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-
dimethylamine;

[3-(5-fluoro-1,8-dithia-3-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-
dimethylamine; and

a pharmaceutically acceptable salt or solvate thereof.